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### (54) Alpha-unsaturated amines, their production and use.

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EP-A- 0 002 930 EP-A- 0 092 647  
EP-A- 0 164 040 EP-A- 0 254 859  
DE-A- 3 232 462 DE-A- 3 343 884

(73) Proprietor: **Takeda Chemical Industries, Ltd.**  
1-1, Doshomachi 4-chome  
Chuo-ku, OSAKA(JP)

(72) Inventor: **Minamida, Isao**  
5-91, Fushimida 2-chome  
Inagawa-cho  
Kawabe-gun Hyogo 666-02(JP)  
Inventor: **Iwanaga, Kolchi**  
8-7, Midorigaoka 2-chome  
Ikeda Osaka 563(JP)  
Inventor: **Okauchi, Tetsuo**  
10-11, Tsutsumi-cho  
Hirakata Osaka 573(JP)

(74) Representative: **von Kreisler, Alek,**  
Dipl.-Chem. et al  
Patentanwälte  
von Kreisler-Selting-Werner  
Postfach 10 22 41  
D-50462 Köln (DE)

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**CHEMICAL ABSTRACTS**, vol. 94, no. 9, 2nd March 1981, page 637, column 1, abstract-no. 64642J, Columbus, Ohio, US; V.J. RAM: "Organosulfur compounds as potential pesticides"

**JOURNAL OF MEDICINAL CHEMISTRY**, vol. 27, 1984, pages 849-857; J. YANAGISAWA et al.: "Histamine H<sub>2</sub> receptor antagonists. 1. Synthesis of N-cyano and N-carbamoyl amidine derivatives and their biological activities"

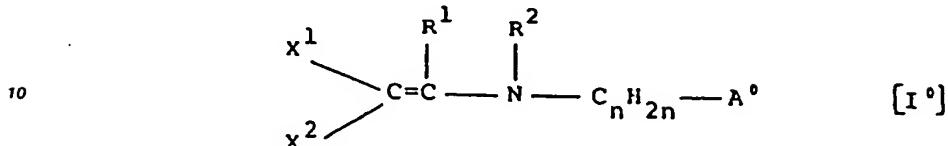
**PATENT ABSTRACTS OF JAPAN**, vol. 11, no. 369 (C-461)(2816), 2nd December 1987

## Claims

Claims for the following Contracting States : AT, BE, CH, DE, FR, GB, GR, IT, LI, LU, NL, SE

1. An  $\alpha$ -unsaturated amine of the formula:

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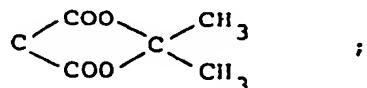


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wherein:

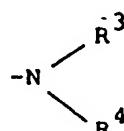
one of X¹ and X² is an electron-attracting group and the other is a hydrogen atom or an electron-attracting group, wherein the said electron-attracting group is cyano, nitro, C<sub>1-4</sub> alkoxy carbonyl, carboxyl, C<sub>6-10</sub> aryloxy-carbonyl, heterocyclooxycarbonyl, C<sub>1-4</sub> alkylsulfonyl which may be substituted with halogen, aminosulfonyl, di-C<sub>1-4</sub> alkoxyphosphoryl, C<sub>1-4</sub> alkanoyl which may be substituted with halogen, C<sub>1-4</sub> alkylsulfonylthiocarbamoyl, carbamoyl or halogen, or X¹ and X² together with the carbon atom to which they are attached form a ring of the formula:

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R¹ is a group of the formula:

30



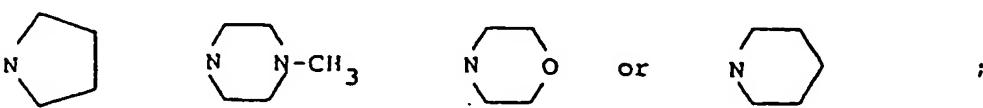
in which:

40 R³ is hydrogen, C<sub>1-20</sub> alkyl, C<sub>6-10</sub> aryl, C<sub>7-9</sub> aralkyl, heterocycle, C<sub>1-4</sub> alkanoyl, C<sub>6-10</sub> arylcarbonyl, C<sub>1-4</sub> alkoxy-carbonyl, C<sub>6-10</sub> aryloxy-carbonyl, heterocyclooxycarbonyl, C<sub>6-10</sub> arylsulfonyl, C<sub>1-4</sub> alkylsulfonyl, di-C<sub>1-4</sub> alkoxyphosphoryl, C<sub>1-4</sub> alkoxy, hydroxy, amino, di-C<sub>1-4</sub> alkylamino, C<sub>1-4</sub> alkanoylamino, C<sub>1-4</sub> alkoxy carbonylamino, C<sub>1-4</sub> alkylsulfonylamino, di-C<sub>1-4</sub> alkoxyphosphorylamino, C<sub>7-9</sub> aralkyloxy or C<sub>1-4</sub> alkoxy-carbonyl-C<sub>1-4</sub> alkyl; and

45 R⁴ is hydrogen, C<sub>1-20</sub> alkyl, C<sub>3-6</sub> cycloalkyl, C<sub>2-6</sub> alkenyl, C<sub>3-6</sub> cycloalkenyl or C<sub>2-6</sub> alkynyl, wherein each of the radicals defined for R⁴ except for hydrogen may optionally be substituted by 1 to 3 substituents selected from the group consisting of hydroxy, C<sub>1-4</sub> alkoxy, halogen, di-C<sub>1-4</sub> alkylamino, C<sub>1-4</sub> alkylthio, C<sub>1-3</sub> alkanoylamino, C<sub>1-4</sub> alkylsulfonylamino, tri-C<sub>1-4</sub> alkylsilyl, pyridyl and thiazolyl, and each of the pyridyl and thiazolyl may further be substituted by halogen, or

R³ and R⁴ together with the adjacent nitrogen atom constitute a cyclic amino group of the formula:

50

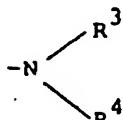


R² is (1) hydrogen, (2) a group attached through a carbon atom selected from the class consisting of C<sub>1-4</sub> alkanoyl, C<sub>1-20</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>3-6</sub> cycloalkyl, C<sub>6-10</sub> aryl, C<sub>7-9</sub> aralkyl and 3- or 4-

pyridyl, the said group attached through a carbon atom being optionally substituted by 1 to 3 substituents selected from the class consisting of  $C_{1-4}$  alkylthio,  $C_{1-4}$  alkoxy, mono- or di- $C_{1-4}$  alkylamino,  $C_{1-4}$  alkoxy-carbonyl,  $C_{1-4}$  alkylsulfonyl, halogen and  $C_{1-4}$  alkanoyl, (3) a group attached through an oxygen atom selected from the class consisting of  $C_{1-4}$  alkoxy,  $C_{3-6}$  cycloalkoxy,

5  $C_{2-4}$  alkenyloxy,  $C_{3-6}$  cycloalkenyloxy, ethynyloxy,  $C_{6-10}$  aryloxy, thienyloxy and hydroxy, the said group attached through an oxygen atom being optionally substituted by 1 to 3 substituents selected from the class consisting of halogen and phenyl, or (4) a group attached through a nitrogen atom of the formula:

10



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wherein

$R^3$  and  $R^4$  have the meanings given above;

$n$  is an integer of 0, 1 or 2;

20

$\bar{A}^o$  is heterocycle;

wherein the heterocycle in the said heterocycle carbonyl for  $X^1$  and  $X^2$ , the said heterocycle for  $R^3$ , the heterocycle in the said heterocyclooxycarbonyl for  $R^3$ , and the said heterocycle for  $A^o$  are a member selected from the class consisting of thieryl, furyl, pyrrolyl, pyridyl, oxazolyl, thiazolyl, pyrazolyl, imidazolyl, isoxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl,  $N$ -oxidopyridyl, pyrimidinyl,  $N$ -oxidopyrimidinyl, pyridazinyl, pyrazinyl,  $N$ -oxidopyridazinyl, benzofuryl, benzothiazolyl, benzoxazolyl, triazinyl, oxotriazinyl, tetrazo[1,5-b]-pyridazinyl, triazolo[4,5-b]pyridazinyl, oxoimidazinyl, dioxotriazinyl, pyrrolidinyl, piperidinyl, pyranyl, thiopyranyl, 1,4-oxazinyl, morpholinyl, 1,4-thiazinyl, 1,3-thiazinyl, piperazinyl, benzimidazolyl, quinolyl, isoquinolyl, indolizinyl, quinolizinyl, 1,8-naphthyridinyl, purinyl, pteridinyl, dibenzofuranyl, carbazolyl, acridinyl, phenanthridinyl, phenazinyl, phenothiazinyl and phenoazinyl, the said heterocycle being optionally substituted by 1 to 5 substituents selected from the group consisting of:

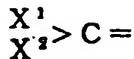
25

- (i)  $C_{1-4}$  alkyl,
- (ii)  $C_{3-6}$  cycloalkyl,
- (iii)  $C_{6-10}$  aryl,
- 35 (iv)  $C_{1-4}$  alkoxy,
- (v)  $C_{3-6}$  cycloalkyloxy,
- (vi)  $C_{6-10}$  aryloxy,
- (vii)  $C_{7-12}$  aralkyloxy
- (viii)  $C_{1-4}$  alkylthio,
- 40 (ix)  $C_{3-6}$  cycloalkylthio,
- (x)  $C_{6-10}$  arylthio,
- (xi)  $C_{7-12}$  aralkylthio,
- (xii) mono- $C_{1-4}$  alkylamino,
- (xiii) di- $C_{1-4}$  alkylamino,
- 45 (xiv)  $C_{3-6}$  cycloalkylamino,
- (xv)  $C_{6-10}$  arylamino,
- (xvi)  $C_{7-12}$  aralkylamino,
- (xvii) halogen,
- (xviii)  $C_{1-4}$  alkoxy carbonyl,
- 50 (xix)  $C_{6-10}$  aryloxy carbonyl,
- (xx)  $C_{3-6}$  cycloalkyloxy carbonyl,
- (xi)  $C_{7-12}$  aralkyloxy carbonyl,
- (xii)  $C_{1-5}$  alkanoyl,
- (xiii)  $C_{1-15}$  alkanoyloxy,
- 55 (xiv) carbamoyl, N-methylcarbamoyl, N,N-dimethylcarbamoyl, N-ethylcarbamoyl, N,N-diethylcarbamoyl, N-phenylcarbamoyl, pyrrolidinocarbamoyl, piperidinocarbamoyl, piperazinocarbamoyl, morpholinocarbamoyl or N-benzylcarbamoyl,

(xxv) N-methylcarbamoyloxy, N,N-dimethylcarbamoyloxy, N-ethylcarbamoyloxy, N-benzylcarbamoyloxy, N,N-dibenzylcarbamoyloxy or N-phenylcarbamoyloxy,  
 (xxvi) C<sub>1-4</sub> alkanoylamino,  
 (xxvii) C<sub>6-10</sub> arylcarbonylamino,  
 5 (xxviii) C<sub>1-4</sub> alkoxycarbonylamino,  
 (xxix) C<sub>7-12</sub> aralkyloxycarbonyl,  
 (xxx) methanesulfonylamino, ethanesulfonylamino, butanesulfonylamino, benzenesulfonylamino, toluenesulfonylamino, naphthalenesulfonylamino, trifluoromethanesulfonylamino, 2-chloroethanesulfonylamino or 2,2,2-trifluoromethanesulfonylamino,  
 10 (xxxi) pyrrolidinyl, pyrrolyl, pyrazolyl, imidazolyl, furyl, thieryl, oxazolyl, isoxazolyl, isothiazolyl, thiazolyl, piperidinyl, pyridyl, piperazinyl, pyrimidinyl, pyranyl, tetrahydropyranyl, tetrahydrofuryl, indolyl, quinolyl, 1,3,4-oxadiazolyl, thieno[2,3-d]pyridyl, 1,2,3-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,3,4-triazolyl, tetrazolyl, 4,5-dihydro-1,3-dioxazolyl, tetrazolo[1,5-b]-pyridazinyl, benzothiazolyl, benzoxazolyl, benzimidazolyl or benzothienyl,  
 15 (xxxi) heterocyclethio, heterocycleoxy, heterocycleamino or heterocyclecarbonylamino group which is derived by attachment of any of the heterocyclic groups (xxxi) defined above to the S, O, N atom or a carbonylamino group,  
 (xxxii) di-C<sub>1-4</sub> alkylphosphinothioylamino,  
 (xxxiv) methoxyimino, ethoxyimino, 2-fluoroethoxyimino, carboxymethoxyimino, 1-carboxy-1-methylethoxyimino, 2,2,2-trichloroethoxycarbonylmethoxyimino, 1-(2,2,2-trichloroethoxycarbonyl)-1-methylethoxyimino, (2-aminothiazol-4-yl)methoxyimino or (1H-imidazol-4-yl)methoxyimino,  
 20 (xxxv) C<sub>1-4</sub> alkylsulfonyloxy,  
 (xxxvi) C<sub>6-10</sub> arylsulfonyloxy,  
 (xxxvii) di-C<sub>6-10</sub> arylphosphino-thioylamino,  
 (xxxviii) thiocarbamoylthio, N-methylthiocarbamoylthio, N,N-dimethylthiocarbamoylthio, N-ethylthiocarbamoylthio, N-benzylthiocarbamoylthio, N,N-dibenzylthiocarbamoylthio or N-phenylthiocarbamoylthio,  
 25 (xxxix) trimethylsilyloxy, t-butyldimethylsilyloxy, t-butyldiphenylsilyloxy or dimethylphenylsilyloxy,  
 (xL) trimethylsilyl, t-butyldimethylsilyl, t-butyldiphenylsilyl or dimethylphenylsilyl,  
 30 (xLi) C<sub>1-4</sub> alkylsulfinyl,  
 (xLii) C<sub>6-10</sub> arylsulfinyl,  
 (xLiii) C<sub>1-4</sub> alkylsulfonyl,  
 (xLiv) C<sub>6-10</sub> arylsulfonyl,  
 (xLv) C<sub>1-4</sub> alkoxycarbonyloxy,  
 35 (xLvi) halo-C<sub>1-4</sub> alkyl,  
 (xLvii) halo-C<sub>1-4</sub> alkoxy, halo-C<sub>1-4</sub> alkylthio, halo-C<sub>1-4</sub> alkylsulfinyl or halo-C<sub>1-4</sub> alkylsulfonyl,  
 (xLviii) cyano, nitro, hydroxyl, carboxyl, sulfo, phosphono,  
 (xLix) C<sub>1-4</sub> alkylxysulfonyl,  
 (L) C<sub>6-10</sub> aryloxysulfonyl,  
 40 (Li) C<sub>7-12</sub> aralkyloxysulfonyl, and  
 (Lii) di-C<sub>1-4</sub> alkylxyphosphoryl group, with the proviso that when R<sup>2</sup> is a hydrogen atom, R<sup>1</sup> is a group of the formula:



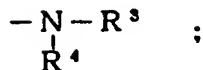
55 [wherein R<sup>3a</sup> is hydrogen, C<sub>1-4</sub> alkyl, C<sub>7-9</sub> phenylalkyl or C<sub>1-4</sub> alkanoyl and R<sup>4a</sup> is a hydrogen, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy-C<sub>1-4</sub> alkyl, (di-C<sub>1-4</sub> alkylamino)-C<sub>1-4</sub> alkyl, tri-C<sub>1-4</sub> alkylsilyl-C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl or pyridyl- or thiazolyl-C<sub>1-2</sub> alkyl wherein pyridyl or thiazolyl moiety may optionally be substituted with a halogen atom, or R<sup>3a</sup> and R<sup>4a</sup> taken together with the adjacent nitrogen atom constitute pyrrolidino) and A<sup>o</sup> is pyridyl, pyrazinyl or thiazolyl, each of which may optionally be substituted with a halogen, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkylthio or C<sub>1-4</sub> alkoxy),  
 and with the proviso that when



5

is  $O_2N-CH=$ ;  
 R<sup>1</sup> is

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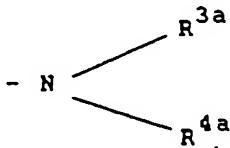


R<sup>3</sup> is hydrogen, C<sub>1-5</sub> alkyl or C<sub>3-6</sub> cycloalkyl;

15 R<sup>4</sup> is hydrogen, C<sub>1-5</sub> alkyl, C<sub>3-6</sub> cycloalkyl, benzyl or pyrimidinylmethyl; or  
 R<sup>3</sup> and R<sup>4</sup> together with the adjacent nitrogen atom constitute a cyclic amino group of pyrrolidinyl or  
 piperazinyl; and  
 R<sup>2</sup> is hydrogen, C<sub>1-5</sub> alkyl or C<sub>3-6</sub> cycloalkyl,  
 A° is not a pyridyl substituted by C<sub>1-4</sub> haloalkyl, C<sub>1-4</sub> haloalkoxy, C<sub>1-4</sub> haloalkylthio, C<sub>1-4</sub> haloalkylsul-  
 20 finyl, C<sub>1-4</sub> haloalkylsulfonyl, cyano, nitro or hydroxyl,  
 or a salt thereof.

2. A compound as claimed in claim 1, wherein R<sup>2</sup> is hydrogen, R<sup>1</sup> is a group of the formula:

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30 (wherein R<sup>3a</sup> and R<sup>4a</sup> are as defined in claim 1) and A° is heterocycle selected from the class  
 consisting of pyridyl, pyrazinyl and thiazolyl, the said heterocycle mentioned just above for A° being  
 35 optionally substituted with halogen, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkylthio or C<sub>1-4</sub> alkoxy.

3. A compound as claimed in claim 1, wherein R<sup>2</sup> is other than hydrogen.

4. A compound as claimed in claim 1, wherein,

40 X<sup>1</sup> is nitro;  
 X<sup>2</sup> is hydrogen, C<sub>1-2</sub> alkoxy carbonyl or C<sub>1-2</sub> alkylsulfonylthiocarbamoyl;  
 R<sup>1</sup> is amino, mono- or di-C<sub>1-4</sub> alkylamino, halo-C<sub>1-4</sub> alkylamino, N-C<sub>1-4</sub> alkyl-N-C<sub>1-2</sub> al-  
 kanoylamino, N-halo-C<sub>1-4</sub> alkyl-N-C<sub>1-2</sub> alkanoylamino or C<sub>1-2</sub> alkanoylamino;  
 R<sup>2</sup> is hydrogen, C<sub>1-2</sub> alkoxy, di-C<sub>1-2</sub> alkylamino, C<sub>1-4</sub> alkyl, halo-C<sub>1-4</sub> alkyl or C<sub>1-2</sub> alkanoyl;  
 45 n is 0 or 1;  
 A° is 2- or 3-thienyl, 2- or 3-furyl, 2- or 3-pyrrolyl, 2-, 3- or 4-pyridyl, 2-, 4- or 5-oxazolyl, 2-, 4- or  
 5-thiazolyl, 3-, 4- or 5-pyrazolyl, 2-, 4- or 5-imidazolyl, 3-, 4- or 5-isoxazolyl, 3-, 4- or 5-isothiazolyl, 3-  
 or 5-(1,2,4-oxadiazolyl), 1,3,4-oxadiazolyl, 3- or 5-(1,2,4-thiadiazolyl), 1,3,4-thiadiazolyl, 4- or 5-(1,2,3-  
 thiadiazolyl), 1,2,5-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1H- or 2H-tetrazolyl, N-oxido-2-, 3- or 4-  
 50 pyridyl, 2-, 4- or 5-pyrimidinyl, N-oxido-2-, 4- or 5-pyrimidinyl, 3- or 4-pyridazinyl, pyrazinyl, N-oxido-3-  
 or 4-pyridazinyl, benzofuryl, benzothiazolyl, benzoxazolyl, triazinyl, oxotriazinyl, tetrazolo[1,5-b]-  
 pyridazinyl, triazolo[4,5-b]pyridazinyl, oxoimidazinyl, dioxotriazinyl, pyrrolidinyl, piperidinyl, pyranyl,  
 thiopyranyl, 1,4-oxazinyl, morpholinyl, 1,4-thiazinyl, 1,3-thiazinyl, piperazinyl, benzimidazolyl, quinolyl,  
 55 isoquinolyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, indolizinyl, quinolizinyl, 1,8-naphthyridinyl,  
 purinyl, pteridinyl, dibenzofuranyl, carbazolyl, acridinyl, phenanthridinyl, phenazinyl, phenothiazinyl or  
 phenoxyazinyl, each of which may optionally be substituted with halogen, C<sub>1-4</sub> alkyl, halo-C<sub>1-4</sub> alkyl,  
 C<sub>1-4</sub> alkoxy, halo-C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkylthio or halo-C<sub>1-4</sub> alkylthio or a salt thereof.

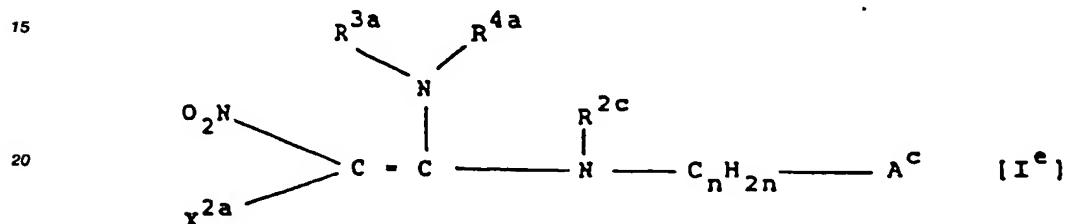
5. A compound as claimed in claim 1, wherein,

X<sup>1</sup> is nitro;X<sup>2</sup> is hydrogen or C<sub>1-2</sub> alkylsulfonylthiocarbamoyl;5 R<sup>1</sup> is amino, mono- or di-C<sub>1-2</sub> alkylamino, halo-C<sub>1-2</sub> alkylamino, N-C<sub>1-2</sub> alkyl-N-C<sub>1-2</sub> alkanoylamino, N-halo-C<sub>1-2</sub> alkyl-N-C<sub>1-2</sub> alkanoylamino or C<sub>1-2</sub> alkanoylamino;R<sup>2</sup> is hydrogen, C<sub>1-2</sub> alkoxy, di-C<sub>1-2</sub> alkylamino, C<sub>1-4</sub> alkyl, halo-C<sub>1-4</sub> alkyl or C<sub>1-2</sub> alkanoyl;

n is 1; and

10 A<sup>0</sup> is pyridyl, pyrazinyl or thiazolyl, each of which may optionally be substituted with halogen, C<sub>1-4</sub> alkyl, halo-C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, halo-C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkylthio or halo-C<sub>1-4</sub> alkylthio or a salt thereof.

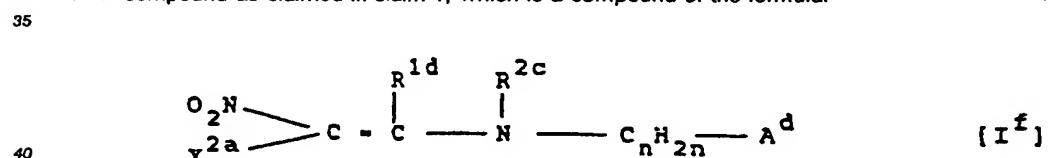
6. A compound as claimed in claim 1, of the formula

X<sup>2a</sup> is hydrogen, C<sub>1-4</sub> alkoxy carbonyl or C<sub>1-4</sub> alkylsulfonylthiocarbamoyl;R<sup>2c</sup> is hydrogen, C<sub>1-3</sub> alkanoyl, C<sub>1-4</sub> alkyl, mono- or di-C<sub>1-4</sub> alkoxy-C<sub>1-4</sub> alkyl, C<sub>7-9</sub> aralkyl, mono- or di-C<sub>1-4</sub> alkylamino or C<sub>1-4</sub> alkoxy;30 A<sup>c</sup> is 3- or 4-pyridyl, pyrazinyl or 4- or 5-thiazolyl, each of which may optionally be substituted with halogen, C<sub>1-4</sub> alkyl or C<sub>1-4</sub> alkoxy;

n is 1; and

R<sup>3a</sup> and R<sup>4a</sup> are as defined in claim 1, or a salt thereof.

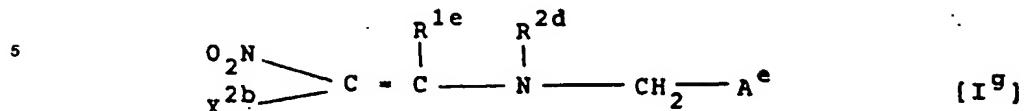
7. A compound as claimed in claim 1, which is a compound of the formula:

X<sup>2a</sup> is hydrogen, C<sub>1-4</sub> alkoxy carbonyl or C<sub>1-4</sub> alkylsulfonylthiocarbamoyl;45 R<sup>1d</sup> is amino, mono- or di-C<sub>1-4</sub> alkylamino, N-C<sub>1-4</sub> alkyl-N-C<sub>1-3</sub> alkanoylamino C<sub>7-9</sub> aralkylamino, halogenothiazolyl-C<sub>1-2</sub> alkylamino or C<sub>1-4</sub> alkoxy-C<sub>1-2</sub> alkylamino;R<sup>2c</sup> is hydrogen, C<sub>1-3</sub> alkanoyl, C<sub>1-4</sub> alkyl, mono- or di-C<sub>1-4</sub> alkoxy-C<sub>1-4</sub> alkyl, C<sub>7-9</sub> aralkyl, mono- or di-C<sub>1-4</sub> alkylamino or C<sub>1-4</sub> alkoxy;

n is 0, 1 or 2; and

50 A<sup>d</sup> is 3- or 4-pyridyl, pyrazinyl or 5-thiazolyl, each of which may optionally be substituted with halogen, C<sub>1-4</sub> alkyl or C<sub>1-4</sub> alkoxy, or a salt thereof.

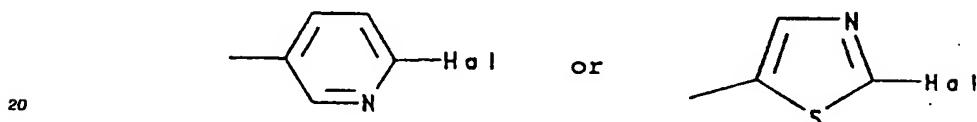
8. A compound as claimed in claim 1, which is a compound of the formula:



10 wherein:

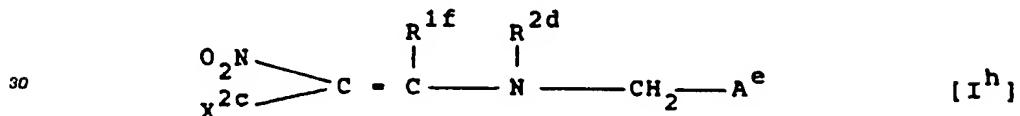
$\text{X}^{2b}$  is hydrogen or  $\text{C}_{1-2}$  alkylsulfonylthiocarbamoyl;  
 $\text{R}^{1e}$  is amino, mono- or di- $\text{C}_{1-2}$  alkylamino or  $\text{N-C}_{1-2}$  alkyl-N-formylamino;  
 $\text{R}^{2d}$  is hydrogen,  $\text{C}_{1-2}$  alkyl or  $\text{C}_{1-3}$  alkanoyl; and  
 $\text{A}^e$  is a group of the formula:

15



wherein  $\text{Hal}$  is a halogen atom, or a salt thereof.

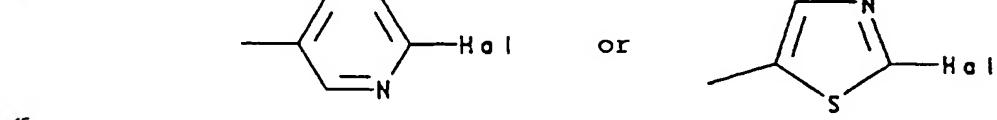
25 9. A compound as claimed in claim 1, which is a compound of the formula:



35 wherein:

$\text{X}^{2c}$  is hydrogen or methylsulfonylthiocarbamoyl;  
 $\text{R}^{1f}$  is amino, methylamino, dimethylamino or  $\text{N-methyl-N-formylamino}$ ;  
 $\text{R}^{2d}$  is a hydrogen atom, formyl or  $\text{C}_{1-2}$  alkyl; and  
 $\text{A}^e$  is a group of the formula:

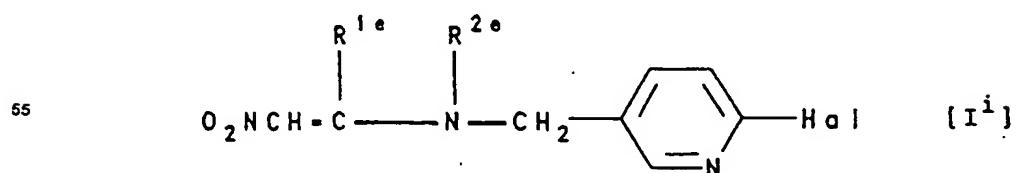
40



wherein  $\text{Hal}$  is a halogen atom, or a salt thereof.

50 10. A compound as claimed in claim 1, which is a compound of the formula:

55



wherein:

$R^{1e}$  is amino, mono- or di- $C_{1-2}$  alkylamino or  $N$ - $C_{1-2}$  alkyl- $N$ -formylamino;

**R<sup>2a</sup>** is C<sub>1-2</sub> alkyl or formyl; and

Hal is a halogen atom, or a salt thereof.

11. A compound as claimed in claim 1, wherein the heterocycle is selected from the following group and being optionally substituted as defined in claim 1, the group consisting of 2- or 3-thienyl, 2- or 3-furyl, 2- or 3- pyrrolyl, 2-, 4- or 5-oxazolyl, 2-, 4- or 5-thiazolyl, 3-, 4- or 5-pyrazolyl, 2-, 4- or 5-imidazolyl, 3-, 4- or 5-isoxazolyl, 3-, 4- or 5-isothiazolyl, 3- or 5-(1,2,4-oxadiazolyl), 1,3,4-oxadiazolyl, 3- or 5-(1,2,4-thiadiazolyl), 1,3,4-thiadiazolyl, 4- or 5-(1,2,3-thiadiazolyl), 1,2,5-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1H- or 2H-tetrazolyl, N-oxido-2-, 3- or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, N-oxido-2-, 4- or 5-pyrimidinyl, 3- or 4-pyridazinyl, pyrazinyl, N-oxido-3- or 4-pyridazinyl, benzofuryl, benzothiazolyl, benzoxazolyl, triazinyl, oxotriazinyl, tetrazolo[1,5-b]pyridazinyl, trisolo[4,5-b]pyridazinyl, oxoimidazinyl, dioxotriazinyl, pyrrolidinyl, piperidinyl, pyranyl, thiopyranyl, 1,4-oxazinyl, morpholinyl, 1,4-thiazinyl, 1,3-thiazinyl, piperazinyl, benzimidazolyl, quinolyl, isoquinolyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, indolizinyl, quinolizinyl, 1,8-naphthyridinyl, purinyl, pteridinyl, dibenzofuranyl, carbazolyl, acridinyl, phenanthridinyl, phenazinyl, phenothiazinyl and phenoxazinyl.

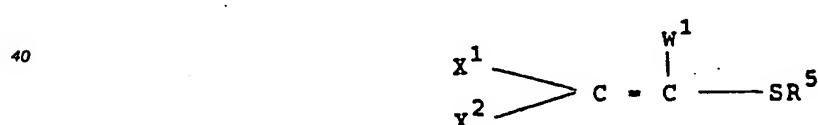
12. A compound as claimed in claim 1, selected from 1-[N-(6-chloro-3-pyridylmethyl)-N-methyl]amino-1-methylamino-2-nitroethylene, 1-(6-chloro-3-pyridylmethyl)amino-1-dimethylamino-2-nitroethylene, and 1-[N-(6-chloro-3-pyridylmethyl)-N-ethyl]amino-1-methylamino-2-nitroethylene.

13. An insecticidal/miticidal composition which comprises an insecticidal/miticidal effective amount of at least one of the  $\alpha$ -unsaturated amines as claimed in any one of claims 1 to 12, or a salt thereof, together with a suitable carrier or carriers.

14. A process for preparing an  $\alpha$ -unsaturated amine of the formula:



35 wherein the symbols are as defined in claim 1 or a salt thereof, which comprises  
(1) reacting a compound of the formula:

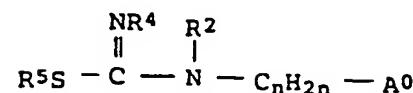
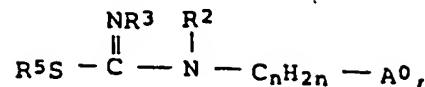


45 or a salt thereof with a compound of the formula:

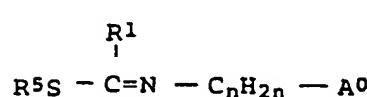
$$Y = W^2$$

or a salt thereof, or

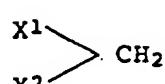
**(2) reacting a compound of the formula:**



or

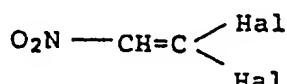


or a salt thereof with a compound of the formula:



30 or a salt thereof, or

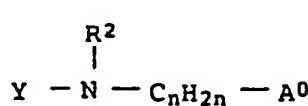
(3) reacting a compound of the formula:



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(i) with a compound of the formula:



50

or a salt thereof, and then reacting the resulting product with a compound of the formula:

R<sup>1</sup> - Y

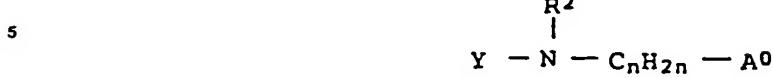
55

or a salt thereof, or (ii) with a compound of the formula:

R<sup>1</sup> - Y

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or a salt thereof, and then reacting the resulting product with a compound of the formula:



10 or a salt thereof, or

(4) reacting a compound of the formula:

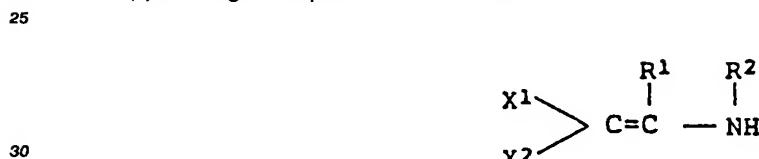


20 or a salt thereof with a compound of the formula:



25 or a salt thereof, or

(5) reacting a compound of the formula:

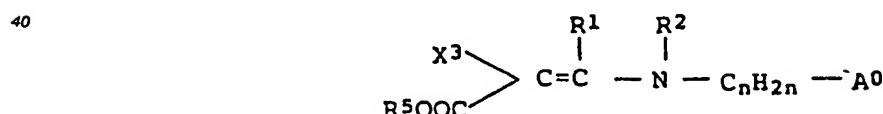


35 or a salt thereof with a compound of the formula:



40 or a salt thereof, or

(6) subjecting a compound of the formula:



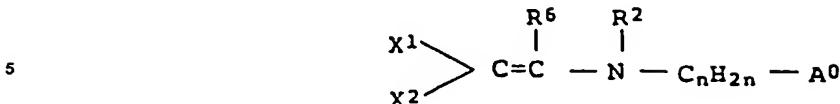
45 or a salt thereof to hydrolysis reaction and then to decarboxylation reaction, or

50

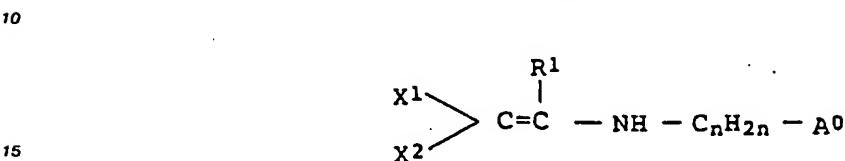
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(7) subjecting a compound of the formula:



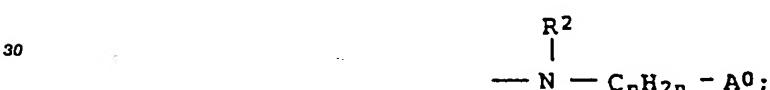
or



or a salt thereof to alkylation, acylation, alkoxycarbonylation, sulfonylation or phosphorylation, in which formulas, R<sup>5</sup> is a C<sub>1-4</sub> alkyl or aralkyl; when W<sup>1</sup> is



W<sup>2</sup> is R<sup>1</sup> and when W<sup>1</sup> is R<sup>1</sup>, W<sup>2</sup> is



Y is a hydrogen atom or an alkali metal;

35 R<sup>3</sup> is a hydrogen atom, alkyl, aryl aralkyl, heterocyclic, acyl, alkoxycarbonyl, aryloxycarbonyl, heterocyclooxycarbonyl, arylsulfonyl, alkylsulfonyl, dialkoxyphosphoryl, alkoxy, hydroxyl, amino, dialkylamino, acylamino, alkoxycarbonylamino, alkylsulfonylamino, dialkoxyphosphorylamino, aralkyloxy or alkoxycarbonylalkyl; R<sup>4</sup> is a hydrogen atom, or alkyl, cycloalkyl, alkenyl, cycloalkenyl or alkynyl which groups may optionally be substituted, or pyridyl- or thiazolyl-C<sub>1-2</sub> alkyl wherein pyridyl and thiazolyl moiety may optionally be substituted with a halogen atom; Hal is a halogen atom; X<sup>3</sup> is an electron-attracting group; R<sup>6</sup> is a group attached through a nitrogen atom containing at least one hydrogen atom; and X<sup>1</sup>, X<sup>2</sup>, R<sup>1</sup>, R<sup>2</sup>, n and A<sup>0</sup> are as defined in claim 1.

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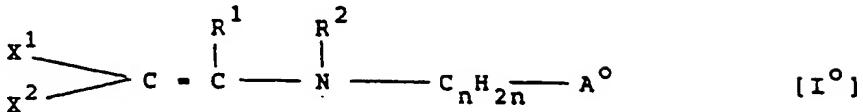
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15. A method of combatting undesirable insects or mites, which comprises applying an insecticidal or miticidal effective amount of the compound of the formula [I<sup>0</sup>] defined in any one of claims 1 to 12 or a salt thereof to the said insects or mites or their habitat.
16. A method of claim 15, wherein the compound or salt is applied in a composition of the compound or salt with a suitable carrier or carriers.
17. A method of combatting undesirable insects or mites, which comprises applying an insecticidal or miticidal effective amount of the compound of the formula [I<sup>0</sup>] defined in claim 12.

## Claims for the following Contracting State : ES

1. A process for preparing an  $\alpha$ -unsaturated amine of the formula:

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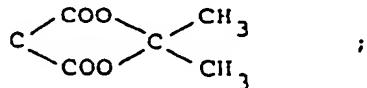


10

wherein:

one or X<sup>1</sup> and X<sup>2</sup> is an electron-attracting group and the other is a hydrogen atom or an electron-attracting group, wherein the said electron-attracting group is cyano, nitro, C<sub>1-4</sub> alkoxy carbonyl, carboxyl, C<sub>6-10</sub> aryloxy-carbonyl, heterocycleoxycarbonyl, C<sub>1-4</sub> alkylsulfonyl which may be substituted with halogen, aminosulfonyl, di-C<sub>1-4</sub> alkoxyphosphoryl, C<sub>1-4</sub> alkanoyl which may be substituted with halogen, C<sub>1-4</sub> alkylsulfonylthiocarbamoyl, carbamoyl or halogen, or X<sup>1</sup> and X<sup>2</sup> together with the carbon atom to which they are attached form a ring of the formula:

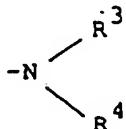
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25

R<sup>1</sup> is a group of the formula:

30



35

in which:

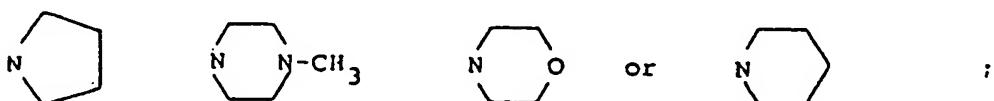
R<sup>3</sup> is hydrogen, C<sub>1-20</sub> alkyl, C<sub>6-10</sub> aryl, C<sub>7-9</sub> aralkyl, heterocycle, C<sub>1-4</sub> alkanoyl, C<sub>6-10</sub> arylcarbonyl, C<sub>1-4</sub> alkoxy-carbonyl, C<sub>6-10</sub> aryloxy-carbonyl, heterocycleoxycarbonyl, C<sub>6-10</sub> arylsulfonyl, C<sub>1-4</sub> alkylsulfonyl, di-C<sub>1-4</sub> alkoxyphosphoryl, C<sub>1-4</sub> alkoxy, hydroxy, amino, di-C<sub>1-4</sub> alkylamino, C<sub>1-4</sub> alkanoylamino, C<sub>1-4</sub> alkoxy carbonylamino, C<sub>1-4</sub> alkylsulfonylamino, di-C<sub>1-4</sub> alkoxyphosphorylamino, C<sub>7-9</sub> aralkyloxy or C<sub>1-4</sub> alkoxy-carbonyl-C<sub>1-4</sub> alkyl; and

40 R<sup>4</sup> is hydrogen, C<sub>1-20</sub> alkyl, C<sub>3-6</sub> cycloalkyl, C<sub>2-6</sub>-alkenyl, C<sub>3-6</sub> cycloalkenyl or C<sub>2-6</sub> alkynyl, wherein each of the radicals defined for R<sup>4</sup> except for hydrogen may optionally be substituted by 1 to 3 substituents selected from the group consisting of hydroxy, C<sub>1-4</sub> alkoxy, halogen, di-C<sub>1-4</sub> alkylamino, C<sub>1-4</sub> alkylthio, C<sub>1-3</sub> alkanoylamino, C<sub>1-4</sub> alkylsulfonylamino, tri-C<sub>1-4</sub> alkylsilyl, pyridyl and thiazolyl, and each of the pyridyl and thiazolyl may further be substituted by halogen, or

45

R<sup>3</sup> and R<sup>4</sup> together with the adjacent nitrogen atom constitute a cyclic amino group of the formula:

50



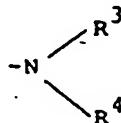
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R<sup>2</sup> is (1) hydrogen, (2) a group attached through a carbon atom selected from the class consisting of C<sub>1-4</sub> alkanoyl, C<sub>1-20</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>3-6</sub> cycloalkyl, C<sub>6-10</sub> aryl, C<sub>7-9</sub> aralkyl and 3- or 4-pyridyl, the said group attached through a carbon atom being optionally substituted by 1 to 3 substituents selected from the class consisting of C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkoxy, mono- or di-C<sub>1-4</sub> alkylamino, C<sub>1-4</sub> alkoxy-carbonyl, C<sub>1-4</sub> alkylsulfonyl, halogen and C<sub>1-4</sub> alkanoyl, (3) a group attached

through an oxygen atom selected from the class consisting of C<sub>1</sub>–4 alkoxy, C<sub>3</sub>–6 cycloalkoxy, C<sub>2</sub>–4 alkenyloxy, C<sub>3</sub>–6 cycloalkenyloxy, ethynyoxy, C<sub>6</sub>–10 aryloxy, thienyloxy and hydroxy, the said group attached through an oxygen atom being optionally substituted by 1 to 3 substituents selected from the class consisting of halogen and phenyl, or (4) a group attached through a nitrogen atom of the formula:

5

10



wherein R<sup>3</sup> and R<sup>4</sup> have the meanings given above;

15

n is an integer of 0, 1 or 2;  
A° is heterocycle,

wherein the heterocycle in the said heterocycle carbonyl for X<sup>1</sup> and X<sup>2</sup>, the said heterocycle for R<sup>3</sup>, the heterocycle in the said heterocyclooxycarbonyl for R<sup>3</sup>,

20

and the said heterocycle for A° are a member selected from the class consisting of thienyl, furyl, pyrrolyl, pyridyl, oxazolyl, thiazolyl, pyrazolyl, imidazolyl, isoxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, N-oxidopyridyl, pyrimidinyl, N-oxidopyrimidinyl, pyridazinyl, pyrazinyl, N-oxidopyridazinyl, benzofuryl, benzothiazolyl, benzoxazolyl, triazinyl, oxotriazinyl, tetrazo[1,5-b]-pyridazinyl, triazolo[4,5-b]pyridazinyl, oxoimidazinyl, dioxotriazinyl, pyrrolidinyl, piperidinyl, pyranyl, thiopyranyl, 1,4-oxazinyl, morpholinyl, 1,4-thiazinyl, 1,3-thiazinyl, piperazinyl, benzimidazolyl, quinolyl, isoquinolyl, indolizinyl, quinolizinyl, 1,8-naphthyridinyl, purinyl, pteridinyl, dibenzofuranyl, carbazolyl, acridinyl, phenanthridinyl, phenazinyl, phenothiazinyl and phenoxazinyl, the said heterocycle being optionally substituted by 1 to 5 substituents selected from the group consisting of,

25

- (i) C<sub>1</sub>–4 alkyl,
- (ii) C<sub>3</sub>–6 cycloalkyl,
- (iii) C<sub>6</sub>–10 aryl,
- (iv) C<sub>1</sub>–4 alkoxy,
- (v) C<sub>3</sub>–6 cycloalkyloxy,
- (vi) C<sub>6</sub>–10 aryloxy,
- (vii) C<sub>7</sub>–12 aralkyloxy
- (viii) C<sub>1</sub>–4 alkylthio,
- (ix) C<sub>3</sub>–6 cycloalkylthio,
- (x) C<sub>6</sub>–10 arylthio,
- (xi) C<sub>7</sub>–12 aralkylthio,
- (xii) mono-C<sub>1</sub>–4 alkylamino,
- (xiii) di-C<sub>1</sub>–4 alkylamino,
- (xiv) C<sub>3</sub>–6 cycloalkylamino,
- (xv) C<sub>6</sub>–10 arylamino,
- (xvi) C<sub>7</sub>–12 aralkylamino,
- (xvii) halogen,
- (xviii) C<sub>1</sub>–4 alkoxy carbonyl,
- (xix) C<sub>6</sub>–10 aryloxy carbonyl,
- (xx) C<sub>3</sub>–6 cycloalkyloxy carbonyl,
- (xxi) C<sub>7</sub>–12 aralkyloxy carbonyl,
- (xxii) C<sub>1</sub>–5 alkanoyl,
- (xxiii) C<sub>1</sub>–15 alkanoyloxy,
- (xxiv) carbamoyl, N-methylcarbamoyl, N,N-dimethylcarbamoyl, N-ethylcarbamoyl, N,N-diethylcarbamoyl, N-phenylcarbamoyl, pyrrolidinocarbamoyl, piperidinocarbamoyl, piperazinocarbamoyl, morpholinocarbamoyl or N-benzylcarbamoyl,
- (xxv) N-methylcarbamoyloxy, N,N-dimethylcarbamoyloxy, N-ethylcarbamoyloxy, N-benzylcarbamoyloxy, N,N-dibenzylcarbamoyloxy or N-phenylcarbamoyloxy,
- (xxvi) C<sub>1</sub>–4 alkanoylamino,
- (xxvii) C<sub>6</sub>–10 arylcarbonylamino,
- (xxviii) C<sub>1</sub>–4 alkoxy carbonylamino,

50

45

55

(xxix)  $C_{7-12}$  aralkyloxycarbonyl,  
 (xxx) methanesulfonylamino, ethanesulfonylamino, butanesulfonylamino, benzenesulfonylamino, toluenesulfonylamino, naphthalenesulfonylamino, trifluoromethanesulfonylamino, 2-chloroethanesulfonylamino or 2,2,2-trifluoromethanesulfonylamino,  
 5 (xxxi) pyrrolidinyl, pyrrolyl, pyrazolyl, imidazolyl, furyl, thienyl, oxazolyl, isoxazolyl, isothiazolyl, thiazolyl, piperidinyl, pyridyl, piperazinyl, pyrimidinyl, pyranyl, tetrahydropyranyl, tetrahydrofuryl, indolyl, quinolyl, 1,3,4-oxadiazolyl, thieno[2,3-d]pyridyl, 1,2,3-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,3,4-triazolyl, tetrazolyl, 4,5-dihydro-1,3-dioxazolyl, tetrazolo[1,5-b]pyrazinyl, benzothiazolyl, benzoxazolyl, benzimidazolyl or benzothienyl,  
 10 (xxxii) heterocyclethio, heterocycleoxy, heterocycleamino or heterocyclecarbonylamino group which is derived by attachment of any of the heterocyclic groups (xxxi) defined above to the S, O, N atom or a carbonylamino group,  
 (xxxiii) di- $C_{1-4}$  alkylphosphinothioylamino,  
 (xxxiv) methoxyimino, ethoxyimino, 2-fluoroethoxyimino, carboxymethoxyimino, 1-carboxy-1-methylethoxyimino, 2,2,2-trichloroethoxycarbonylmethoxyimino, 1-(2,2,2-trichloroethoxycarbonyl)-1-methylethoxyimino, (2-aminothiazol-4-yl)methoxyimino or (1H-imidazol-4-yl)methoxyimino,  
 15 (xxxv)  $C_{1-4}$  alkylsulfonyloxy,  
 (xxxvi)  $C_{6-10}$  arylsulfonyloxy,  
 (xxxvii) di- $C_{6-10}$  arylphosphino-thioylamino,  
 20 (xxxviii) thiocarbamoylthio, N-methylthiocarbamoylthio, N,N-dimethylthiocarbamoylthio, N-ethylthiocarbamoylthio, N-benzylthiocarbamoylthio, N,N-dibenzylthiocarbamoylthio or N-phenylthiocarbamoylthio,  
 (xxxix) trimethylsilyloxy, t-butyldimethylsilyloxy, t-butyldiphenylsilyloxy or dimethylphenylsilyloxy,  
 (xL) trimethylsilyl, t-butyldimethylsilyl, t-butyldiphenylsilyl or dimethylphenylsilyl,  
 25 (xLi)  $C_{1-4}$  alkylsulfinyl,  
 (xLii)  $C_{6-10}$  arylsulfinyl,  
 (xLiii)  $C_{1-4}$  alkylsulfonyl,  
 (xLiv)  $C_{6-10}$  arylsulfonyl,  
 (xLv)  $C_{1-4}$  alkoxy-carbonyloxy,  
 30 (xLvi) halo- $C_{1-4}$  alkyl,  
 (xLvii) halo- $C_{1-4}$  alkoxy, halo- $C_{1-4}$  alkylthio, halo- $C_{1-4}$  alkylsulfinyl or halo- $C_{1-4}$  alkylsulfonyl,  
 (xLviii) cyano, nitro, hydroxyl, carboxyl, sulfo, phosphono,  
 (xLix)  $C_{1-4}$  alkyloxysulfonyl,  
 (L)  $C_{6-10}$  aryloxysulfonyl,  
 35 (Li)  $C_{7-12}$  aralkyloxysulfonyl, and  
 (Lii) di- $C_{1-4}$  alkyloxyphosphoryl group, with the proviso that when  $R^2$  is a hydrogen atom,  $R^1$  is a group of the formula,



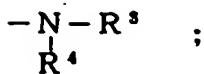
[wherein  $R^{3a}$  is hydrogen,  $C_{1-4}$  alkyl,  $C_{7-9}$  phenylalkyl or  $C_{1-4}$  alkanoyl and  $R^{4a}$  is a hydrogen,  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy- $C_{1-4}$  alkyl, (di- $C_{1-4}$  alkylamino)- $C_{1-4}$  alkyl, tri- $C_{1-4}$  alkylsilyl- $C_{1-4}$  alkyl,  $C_{2-4}$  alkenyl or pyridyl- or thiazolyl- $C_{1-2}$  alkyl wherein pyridyl or thiazolyl moiety may optionally be substituted with a halogen atom, or  $R^{3a}$  and  $R^{4a}$  taken together with the adjacent nitrogen atom constitute pyrrolidino] and  $A^o$  is pyridyl, pyrazinyl or thiazolyl, each of which may optionally be substituted with a halogen,  $C_{1-4}$  alkyl,  $C_{1-4}$  alkylthio or  $C_{1-4}$  alkoxy], and with the proviso that when

55

$$\begin{array}{c}
 \text{X}^1 \\
 \text{X}^2 > \text{C} = \\
 \end{array}$$

is  $\text{O}_2\text{N}-\text{CH} =$ ;  
 R<sup>1</sup> is

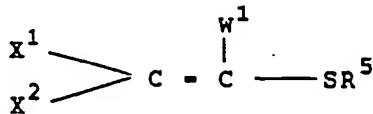
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R<sup>3</sup> is hydrogen, C<sub>1-5</sub> alkyl or C<sub>3-6</sub> cycloalkyl;  
 10 R<sup>4</sup> is hydrogen, C<sub>1-5</sub> alkyl, C<sub>3-6</sub> cycloalkyl, benzyl or pyrimidinylmethyl; or  
 R<sup>3</sup> and R<sup>4</sup> together with the adjacent nitrogen atom constitute a cyclic amino group of pyrrolidinyl or  
 piperazinyl; and  
 R<sup>2</sup> is hydrogen, C<sub>1-5</sub> alkyl or C<sub>3-6</sub> cycloalkyl,  
 A<sup>0</sup> is not a pyridyl substituted by C<sub>1-4</sub> haloalkyl, C<sub>1-4</sub> haloalkoxy,  
 15 C<sub>1-4</sub> haloalkylthio, C<sub>1-4</sub> haloalkylsulfinyl, C<sub>1-4</sub> haloalkylsulfonyl, cyano, nitro or hydroxyl,  
 or a salt thereof,  
 which comprises

(1) reacting a compound of the formula:

20



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or a salt thereof with a compound of the formula:

30

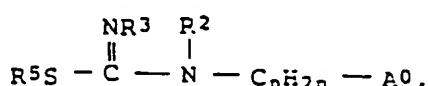


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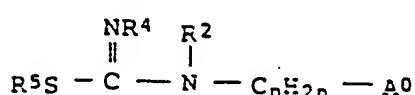
or a salt thereof, or

(2) reacting a compound of the formula:

35



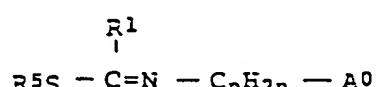
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or

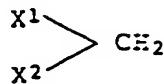
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or a salt thereof with a compound of the formula:

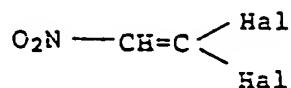
EP 0 302 389 B1



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or a salt thereof, or  
(3) reacting a compound of the formula:

10



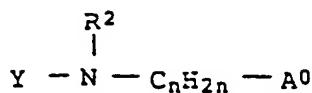
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or



(i) with a compound of the formula:

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or a salt thereof, and then reacting the resulting product with a compound of the formula:



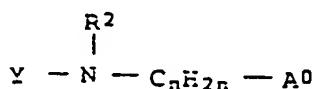
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or a salt thereof, or (ii) with a compound of the formula:



35

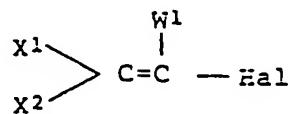
or a salt thereof, and then reacting the resulting product with a compound of the formula:



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or a salt thereof, or  
(4) reacting a compound of the formula:

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or a salt thereof with a compound of the formula:

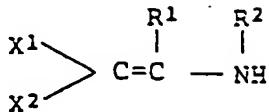


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or a salt thereof, or

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(5) reacting a compound of the formula:

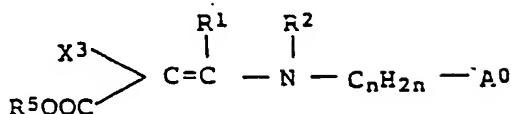


or a salt thereof with a compound of the formula:



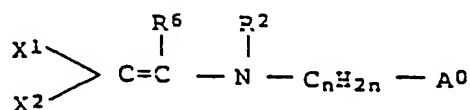
or a salt thereof, or

(6) subjecting a compound of the formula:



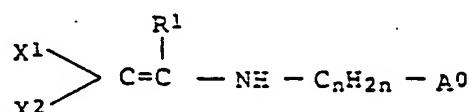
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or a salt thereof to hydrolysis reaction and then to decarboxylation reaction, or  
(7) subjecting a compound of the formula:



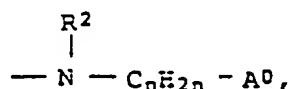
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or

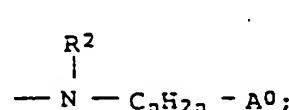


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or a salt thereof to alkylation, acylation, alkoxy carbonylation, sulfonylation or phosphorylation, in which formulas,  $R^5$  is a  $C_{1-4}$  alkyl or aralkyl; when  $W^1$  is



$W^2$  is  $R^1$  and when  $W^1$  is  $R^1$ ,  $W^2$  is



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$Y$  is a hydrogen atom or an alkali metal;

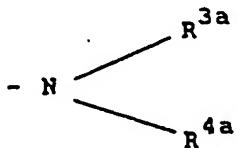
$R^3$  is a hydrogen atom, alkyl, aryl, aralkyl, heterocyclic, acyl, alkoxy carbonyl, aryloxy carbonyl,

5 heterocyclooxycarbonyl, arylsulfonyl, alkylsulfonyl, dialkoxyphosphoryl, alkoxy, hydroxyl, amino, dialkylamino, acylamino, alkoxy carbonylamino, alkylsulfonylamino, dialkoxyphosphorylamino, aralkyloxy or alkoxy carbonylalkyl; R<sup>4</sup> is a hydrogen atom, or alkyl, cycloalkyl, alkenyl, cycloalkenyl or alkynyl which groups may optionally be substituted, or pyridyl- or thiazolyl-C<sub>1-2</sub> alkyl wherein pyridyl and thiazolyl moiety may optionally be substituted with a halogen atom; Hal is a halogen atom;

10 X<sup>3</sup> is an electron-attracting group; R<sup>6</sup> is a group attached through a nitrogen atom containing at least one hydrogen atom; and X<sup>1</sup>, X<sup>2</sup>, R<sup>1</sup>, R<sup>2</sup>, n and A<sup>0</sup> are defined as above.

2. A process as claimed in claim 1, wherein R<sup>2</sup> is hydrogen, R<sup>1</sup> is a group of the formula:

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15

20 (wherein R<sup>3a</sup> and R<sup>4a</sup> are as defined in claim 1) and A<sup>0</sup> is heterocycle selected from the class consisting of pyridyl, pyrazinyl and thiazolyl, the said heterocycle mentioned just above for A<sup>0</sup> being optionally substituted with halogen, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkylthio or C<sub>1-4</sub> alkoxy.

3. A process as claimed in claim 1, wherein R<sup>2</sup> is other than hydrogen.

4. A process as claimed in claim 1, wherein:

25 X<sup>1</sup> is nitro;

X<sup>2</sup> is hydrogen, C<sub>1-2</sub> alkoxy carbonyl or C<sub>1-2</sub> alkylsulfonylthiocarbamoyl;

R<sup>1</sup> is amino, mono- or di-C<sub>1-4</sub> alkylamino, halo-C<sub>1-4</sub> alkylamino, N-C<sub>1-4</sub> alkyl-N-C<sub>1-2</sub> alkanoylamino, N-halo-C<sub>1-4</sub> alkyl-N-C<sub>1-2</sub> alkanoylamino or C<sub>1-2</sub> alkanoylamino;

R<sup>2</sup> is hydrogen, C<sub>1-2</sub> alkoxy, di-C<sub>1-2</sub> alkylamino, C<sub>1-4</sub> alkyl, halo-C<sub>1-4</sub> alkyl or C<sub>1-2</sub> alkanoyl;

30 n is 0 or 1;

A<sup>0</sup> is 2- or 3-thienyl, 2- or 3-furyl, 2- or 3-pyrrolyl, 2-, 3- or 4-pyridyl, 2-, 4- or 5-oxazolyl, 2-, 4- or 5-thiazolyl, 3-, 4- or 5-pyrazolyl, 2-, 4- or 5-imidazolyl, 3-, 4- or 5-isoxazolyl, 3- or 5-(1,2,4-oxadiazolyl), 1,3,4-oxadiazolyl, 3- or 5-(1,2,4-thiadiazolyl), 1,3,4-thiadiazolyl, 4- or 5-(1,2,3-thiadiazolyl), 1,2,5-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1H- or 2H-tetrazolyl, N-oxido-2-, 3- or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, N-oxido-2-, 4- or 5-pyrimidinyl, 3- or 4-pyridazinyl, pyrazinyl, N-oxido-3- or 4-pyridazinyl, benzofuryl, benzothiazolyl, benzoxazolyl, triazinyl, oxotriazinyl, tetrazolo[1,5-b]-pyridazinyl, triazolo[4,5-b]pyridazinyl, oxoimidazinyl, dioxotriazinyl, pyrrolidinyl, piperidinyl, pyranyl, thiopyranyl, 1,4-oxazinyl, morpholinyl, 1,4-thiazinyl, 1,3-thiazinyl, piperazinyl, benzimidazolyl, quinolyl, isoquinolyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, indolizinyl, quinolizinyl, 1,8-naphthyridinyl, purinyl, pteridinyl, dibenzofuranyl, carbazolyl, acridinyl, phenanthridinyl, phenazinyl, phenothiazinyl or phenoxyazinyl, each of which may optionally be substituted with halogen, C<sub>1-4</sub> alkyl, halo-C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, halo-C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkylthio or halo-C<sub>1-4</sub> alkylthio or a salt thereof.

45 5. A as claimed in claim 1, wherein:

X<sup>1</sup> is nitro;

X<sup>2</sup> is hydrogen or C<sub>1-2</sub> alkylsulfonylthiocarbamoyl;

R<sup>1</sup> is amino, mono- or di-C<sub>1-2</sub> alkylamino, halo-C<sub>1-2</sub> alkylamino, N-C<sub>1-2</sub> alkyl-N-C<sub>1-2</sub> alkanoylamino, N-halo-C<sub>1-2</sub> alkyl-N-C<sub>1-2</sub> alkanoylamino or C<sub>1-2</sub> alkanoylamino;

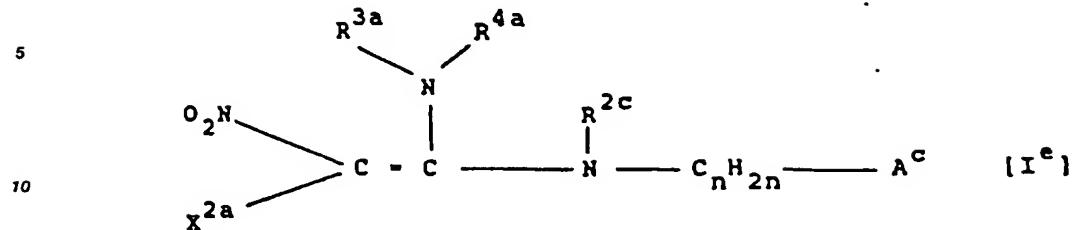
R<sup>2</sup> is hydrogen, C<sub>1-2</sub> alkoxy, di-C<sub>1-2</sub> alkylamino, C<sub>1-4</sub> alkyl, halo-C<sub>1-4</sub> alkyl or C<sub>1-2</sub> alkanoyl;

50 n is 1; and

A<sup>0</sup> is pyridyl, pyrazinyl or thiazolyl, each of which may optionally be substituted with halogen, C<sub>1-4</sub> alkyl, halo-C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, halo-C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkylthio or halo-C<sub>1-4</sub> alkylthio or a salt thereof.

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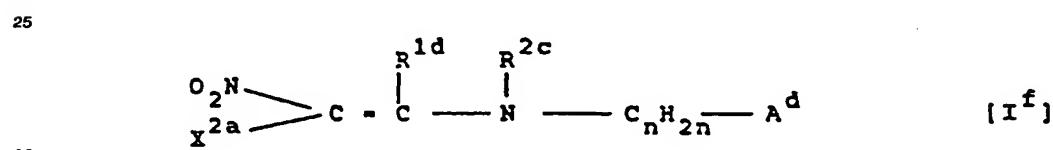
## 6. A process as claimed in claim 1 for preparing a compound of the formula



wherein:

 $X^{2a}$  is hydrogen,  $C_{1-4}$  alkoxy carbonyl or  $C_{1-4}$  alkylsulfonylthiocarbamoyl; $R^{2c}$  is hydrogen,  $C_{1-3}$  alkanoyl,  $C_{1-4}$  alkyl, mono- or di- $C_{1-4}$  alkoxy- $C_{1-4}$  alkyl,  $C_{7-9}$  aralkyl, mono- or di- $C_{1-4}$  alkylamino or  $C_{1-4}$  alkoxy; $A^c$  is 3- or 4-pyridyl, pyrazinyl or 4- or 5-thiazolyl, each of which may optionally be substituted with halogen,  $C_{1-4}$  alkyl or  $C_{1-4}$  alkoxy; $n$  is 1; and $R^{3a}$  and  $R^{4a}$  are as defined in claim 1, or a salt thereof.

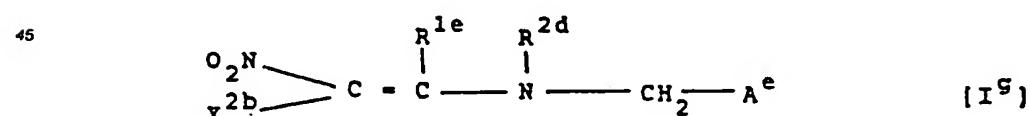
## 7. A process as claimed in claim 1 for preparing a compound of the formula:



wherein:

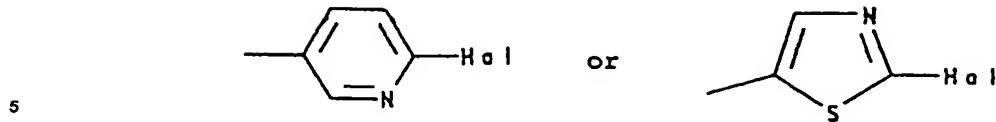
 $X^{2a}$  is hydrogen,  $C_{1-4}$  alkoxy carbonyl or  $C_{1-4}$  alkylsulfonylthiocarbamoyl; $R^{1d}$  is amino, mono- or di- $C_{1-4}$  alkylamino,  $N-C_{1-4}$  alkyl- $N-C_{1-3}$  alkanoylamino  $C_{7-9}$  aralkylamino, halogenothiazolyl- $C_{1-2}$  alkylamino or  $C_{1-4}$  alkoxy- $C_{1-2}$  alkylamino; $R^{2c}$  is hydrogen,  $C_{1-3}$  alkanoyl,  $C_{1-4}$  alkyl, mono- or di- $C_{1-4}$  alkoxy- $C_{1-4}$  alkyl,  $C_{7-9}$  aralkyl, mono- or di- $C_{1-4}$  alkylamino or  $C_{1-4}$  alkoxy; $n$  is 0, 1 or 2; and $A^d$  is 3- or 4-pyridyl, pyrazinyl or 5-thiazolyl, each of which may optionally be substituted with halogen,  $C_{1-4}$  alkyl or  $C_{1-4}$  alkoxy, or a salt thereof.

## 8. A process as claimed in claim 1 for preparing a compound of the formula:



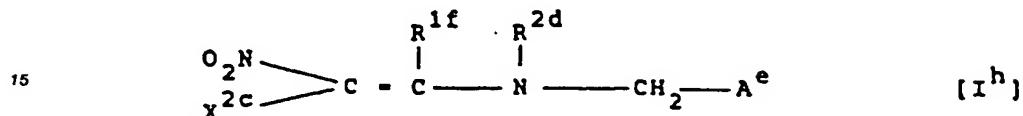
wherein:

 $X^{2b}$  is hydrogen or  $C_{1-2}$  alkylsulfonylthiocarbamoyl; $R^{1e}$  is amino, mono- or di- $C_{1-2}$  alkylamino or  $N-C_{1-2}$  alkyl- $N$ -formylamino; $R^{2d}$  is hydrogen,  $C_{1-2}$  alkyl or  $C_{1-3}$  alkanoyl; and $A^e$  is a group of the formula:



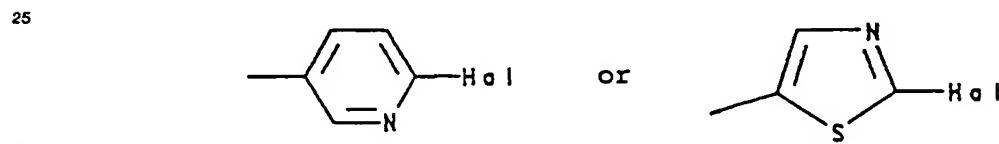
wherein Hal is a halogen atom, or a salt thereof.

10 9. A process as claimed in claim 1 for preparing a compound of the formula:



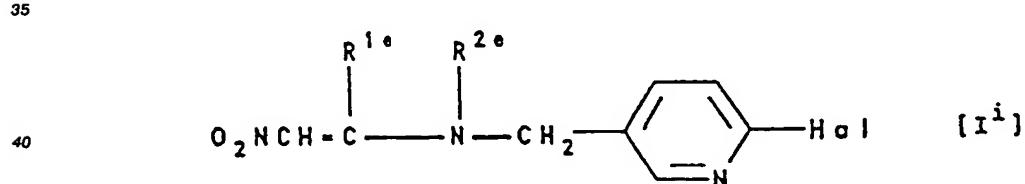
wherein:

20  $X^{2c}$  is hydrogen or methylsulfonylthiocarbamoyl;  
 $R^{1f}$  is amino, methylamino, dimethylamino or N-methyl-N-formylamino;  
 $R^{2d}$  is a hydrogen atom, formyl or  $C_{1-2}$  alkyl; and  
 $A^e$  is a group of the formula:



wherein Hal is a halogen atom, or a salt thereof.

10. A process as claimed in claim 1 for preparing a compound of the formula:



wherein:

40  $R^{1e}$  is amino, mono- or di- $C_{1-2}$  alkylamino or N- $C_{1-2}$  alkyl-N-formylamino;  
 $R^{2e}$  is  $C_{1-2}$  alkyl or formyl; and  
Hal is a halogen atom, or a salt thereof.

45 11. A process as claimed in claim 1, wherein the heterocycle is selected from the following group and  
being optionally substituted as defined in claim 1, the group consisting of 2- or 3-thienyl, 2- or 3-furyl,  
2- or 3- pyrrolyl, 2-, 4- or 5-oxazolyl, 2-, 4- or 5-thiazolyl, 3-, 4- or 5-pyrazolyl, 2-, 4- or 5-imidazolyl, 3-,  
4- or 5-isoxazolyl, 3-, 4- or 5-isothiazolyl, 3- or 5-(1,2,4-oxadiazolyl), 1,3,4-oxadiazolyl, 3- or 5-(1,2,4-  
thiadiazolyl), 1,3,4-thiadiazolyl, 4- or 5-(1,2,3-thiadiazolyl), 1,2,5-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-  
triazolyl, 1H- or 2H-tetrazolyl, N-oxido-2-, 3- or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, N-oxido-2-, 4- or 5-  
pyrimidinyl, 3- or 4-pyridazinyl, pyrazinyl, N-oxido-3- or 4-pyridazinyl, benzofuryl, benzothiazolyl,  
benzoxazolyl, triazinyl, oxotriazinyl, tetrazolo[1,5-b]pyridazinyl, triazolo[4,5-b]pyridazinyl, oxoimidazinyl,  
dioxotriazinyl, pyrrolidinyl, piperidinyl, pyranyl, thiopyranyl, 1,4-oxazinyl, morpholinyl, 1,4-thiazinyl, 1,3-  
thiazinyl, piperazinyl, benzimidazolyl, quinolyl, isoquinolyl, cinnolinyl, phthalazinyl, quinazolinyl, quinox-

alinyl, indolizinyl, quinolizinyl, 1,8-naphthyridinyl, purinyl, pteridinyl, dibenzofuranyl, carbazolyl, acridinyl, phenanthridinyl, phenazinyl, phenothiazinyl and phenoazinyl.

12. A process as claimed in claim 1 for the preparation of a compound selected from 1-[N-(6-chloro-3-pyridylmethyl)-N-methyl]amino-1-methylamino-2-nitroethylene, 1-(6-chloro-3-pyridylmethyl)amino-1-dimethylamino-2-nitroethylene, and 1-[N-(6-chloro-3-pyridylmethyl)-N-ethyl]amino-1-methylamino-2-nitroethylene.

13. A process for preparing an insecticidal/miticidal composition which comprises mixing an insecticidal/miticidal effective amount of at least one of the  $\alpha$ -unsaturated amines as prepared according to any one of claims 1 to 12, or a salt thereof, together with a suitable carrier or carriers.

14. A method of combatting undesirable insects or mites, which comprises applying an insecticidal or miticidal effective amount of the compound of the formula [I<sup>o</sup>] prepared according to any one of claims 1 to 12 or a salt thereof to the said insects or mites or their habitat.

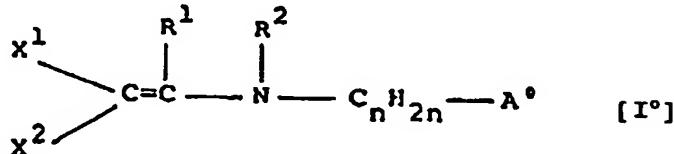
15. A method of claim 14, wherein the compound or salt is applied in a composition of the compound or salt with a suitable carrier or carriers.

20 Patentansprüche

Patentansprüche für folgende Vertragsstaaten : AT, BE, CH, DE, FR, GB, GR, IT, LI, LU, NL, SE

1.  $\alpha$ -Ungesättigtes Amin der Formel

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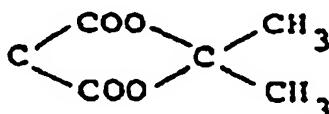
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worin

35 eines von X¹ und X² eine elektronenanziehende Gruppe ist und das andere ein Wasserstoffatom oder eine elektronenanziehende Gruppe ist, in welcher die elektronenanziehende Gruppe Cyano, Nitro, C<sub>1-4</sub>-Alkoxy carbonyl, Carboxy, C<sub>6-10</sub>-Aryloxy carbonyl, Heterocyclyloxy carbonyl, C<sub>1-4</sub>-Alkylsulfonyl, welches mit Halogen substituiert sein kann, Aminosulfonyl, Di-C<sub>1-4</sub>-alkoxyphosphoryl, C<sub>1-4</sub>-Alkanoyl, welches mit Halogen substituiert sein kann, C<sub>1-4</sub>-Alkylsulfonylthiocarbamoyl, Carbamoyl oder Halogen ist, oder X¹ und X² zusammen mit dem Kohlenstoffatom, an welches sie gebunden sind, einen Ring der Formel

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bilden;

R¹ eine Gruppe der Formel

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